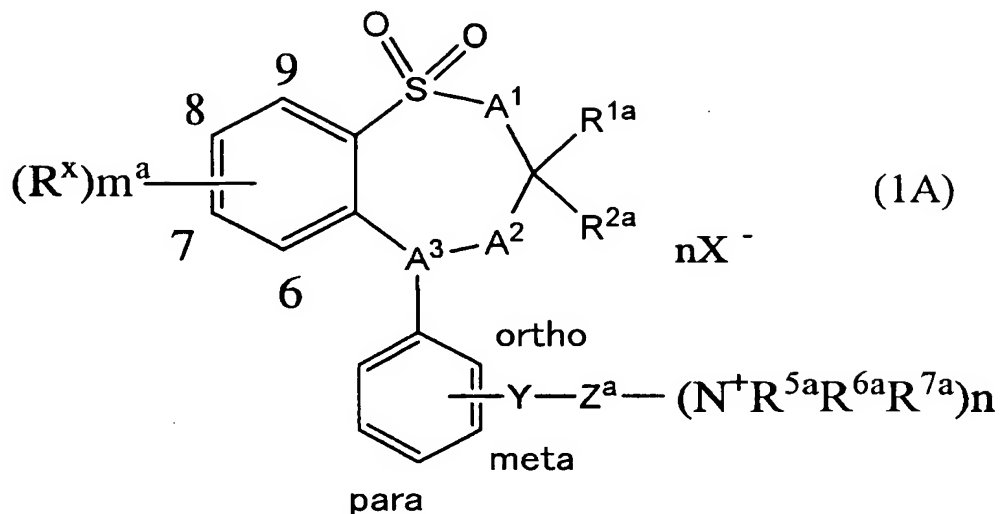


## CLAIMS

1. A compound represented by the following formula (1A):



- 5 wherein  $R^{1a}$  and  $R^{2a}$  may be the same as or different from each other and each represents alkyl group having 1 to 10 carbon atoms, alkenyl group having 2 to 10 carbon atoms or alkynyl group having 2 to 10 carbon atoms;  
 $m^a$  is an integer of 0 to 4;
- 10  $R^x$  represents halogen atom, nitro group, amino group, cyano group, hydroxy group, carboxy group,  $-\text{CONH}_2$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{NR}^3\text{R}^4$  ( $R^3$  and  $R^4$  may be the same as or different from each other and each represents alkyl group having 1 to 5 carbon atoms), alkyl group having 1 to 10 carbon atoms, alkenyl
- 15 group having 2 to 10 carbon atoms or alkynyl group having 2 to 10 carbon atoms; wherein the alkyl group, the alkenyl group and the alkynyl group may be substituted with one or more groups of phenyl, naphthyl, pyridyl, quinolyl, thienyl, furyl, piperidyl, pyrrolidyl, morpholyl, cycloalkyl having
- 20 3 to 7 carbon atoms, cyano, nitro, hydroxy, oxo, thioxo, carboxy,  $-\text{CONH}_2$  and  $-\text{SO}_3\text{H}$ ; one or more methylenes which constitute the alkyl group, the alkenyl group and the alkynyl group may be replaced with any of phenylene,

thienylene, furylene, cyclohexylene, cyclopentylene, -O-, -S-, -CO<sub>2</sub>-, -NHCO-, -NR<sup>8a</sup>-, and -N<sup>+</sup>W<sup>a-</sup>R<sup>9a</sup>R<sup>10a</sup>- (R<sup>8a</sup> represents alkyl group having 1 to 5 carbon atoms or alkenyl group having 2 to 5 carbon atoms; the alkyl group and the alkenyl group in R<sup>8a</sup> may be substituted with one or more groups of phenyl, cycloalkyl having 3 to 7 carbon atoms and hydroxy. R<sup>9a</sup> and R<sup>10a</sup> may be the same as or different from each other and each represents alkyl group having 1 to 5 carbon atoms or alkenyl group having 2 to 5 carbon atoms, and may be substituted with one or more groups of phenyl, cycloalkyl having 3 to 7 carbon atoms and hydroxy. W<sup>a-</sup> represents counteranion.);

the combination of (A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>) represents (CH<sub>2</sub>, NH, CH), (CH<sub>2</sub>, CH(OH), CH), (NH, CH(OH), CH) or (CH<sub>2</sub>, CH<sub>2</sub>, N);

Y represents any of -NHCS-, -NHCSNH- or -NHCSO-, wherein -NH of -NHCS- represents a bond which binds to the adjacent benzene ring and CS- represents a bond which binds to the adjacent Z<sup>a</sup>, and -NH of -NHCSO- represents a bond which binds to the adjacent benzene ring and CSO- represents a bond which binds to the adjacent Z<sup>a</sup>;

Z<sup>a</sup>-(N<sup>+</sup>R<sup>5a</sup>R<sup>6a</sup>R<sup>7a</sup>)<sub>n</sub> represents alkyl group or alkenyl group having 2 to 10 carbon atoms which is substituted with -N<sup>+</sup>R<sup>5a</sup>R<sup>6a</sup>R<sup>7a</sup>, the number of the substituent being n; wherein one or more methylenes which constitute Z<sup>a</sup> may be replaced with any of phenylene which may have a substituent or -O-; wherein the substituent(s) in the phenylene which may have the substituent are 1 to 4 substituents selected from the group consisting of alkyl groups having 1 to 5 carbon atoms, alkoxy groups having 1 to 5 carbon atoms, nitro group, halogen atoms, trifluoromethyl group and -CH<sub>2</sub>N<sup>+</sup>R<sup>5a</sup>R<sup>6a</sup>R<sup>7a</sup>; wherein the substituents may be the same as or different from each other; and wherein n is an integer of 1 or 2; and each of N<sup>+</sup>R<sup>5a</sup>R<sup>6a</sup>R<sup>7a</sup> is independently any of the

following I), II) or III):

I)  $R^{5a}$ ,  $R^{6a}$  and  $R^{7a}$  may be the same as or different from one another, and each represents alkyl group having 1 to 10 carbon atoms, alkenyl group having 2 to 10 carbon atoms or  
 5 alkynyl group having 2 to 10 carbon atoms; wherein the alkyl group, the alkenyl group and the alkynyl group may be substituted with one or more groups of phenyl, naphthyl, pyridyl, quinolyl, thienyl, furyl, piperidyl, pyrrolidyl, morpholyl, cycloalkyl having 3 to 7 carbon atoms, cyano,  
 10 nitro, hydroxy, oxo, thioxo, carboxy,  $-\text{CONH}_2$  and  $-\text{SO}_3\text{H}$ ; and wherein one or more methylenes which constitute the alkyl group, the alkenyl group and the alkynyl group may be replaced with any of phenylene, thienylene, furylene, cyclohexylene, cyclopentylene,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{CO}_2-$ ,  $-\text{NHCO}-$ ,  $-\text{NR}^8-$ , and  $-\text{N}^+\text{W}^-\text{R}^9\text{R}^{10}-$  ( $\text{R}^8$  represents alkyl group having 1 to  
 15 5 carbon atoms or alkenyl group having 2 to 5 carbon atoms. The alkyl group and the alkenyl group in  $\text{R}^8$  may be substituted with one or more groups of phenyl, cycloalkyl having 3 to 7 carbon atoms and hydroxy.  $\text{R}^9$  and  $\text{R}^{10}$  may be  
 20 the same as or different from each other and each represents alkyl group having 1 to 5 carbon atoms or alkenyl group having 2 to 5 carbon atoms, and may be substituted with one or more groups of phenyl, cycloalkyl having 3 to 7 carbon atoms and hydroxy.  $\text{W}^-$  represents  
 25 counteranion.);

II)  $\text{N}^+\text{R}^{5a}\text{R}^{6a}\text{R}^{7a}$  represents a monocyclo or bicyclo ring formed of 4 to 9 carbon atoms in addition to the ammonium nitrogen atom, with a proviso that a position of binding to  $\text{Z}^a$  is the ammonium nitrogen atom; wherein, in the monocyclo  
 30 and bicyclo rings, one of the carbon atoms which constitutes the ring may be replaced with any of oxygen, nitrogen or sulfur atom; and the monocyclo and bicyclo rings may be substituted with one or more groups of hydroxy,

oxo, thioxo, cyano, phenyl, naphthyl, thienyl, pyridyl, cycloalkyl having 3 to 7 carbon atoms, carboxy,  $-\text{CONH}_2$ ,  $-\text{SO}_3\text{H}$  and  $-\text{R}^{11}$  ( $\text{R}^{11}$  represents alkyl group having 1 to 8 carbon atoms or alkenyl group having 2 to 8 carbon atoms.

5 The alkyl group and the alkenyl group in  $\text{R}^{11}$  may be substituted with one or more groups of phenyl, naphthyl, pyridyl, quinolyl, thienyl, furyl, piperidyl, pyrrolidyl, morpholyl, cycloalkyl having 3 to 7 carbon atoms, cyano, nitro, hydroxy, oxo, thioxo, carboxy,  $-\text{CONH}_2$  and  $-\text{SO}_3\text{H}$ ; and

10 one or more methylenes which constitute the alkyl group and the alkenyl group may be replaced with any of phenylene, thienylene, furylene, cyclohexylene, cyclopentylene,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{CO}_2-$ ,  $-\text{NHCO}-$ ,  $-\text{NR}^8-$ , and  $-\text{N}^+\text{W}^-\text{R}^9\text{R}^{10}-$ ;  $\text{R}^8$ ,  $\text{R}^9$ ,  $\text{R}^{10}$  and  $\text{W}^-$  are the same as the above); and the group which is not

15 involved in the formation of the monocyclo ring and the bicyclo ring in  $\text{R}^{5a}$ ,  $\text{R}^{6a}$  and  $\text{R}^{7a}$  is the same as the above I); and

III)  $\text{N}^+\text{R}^{5a}\text{R}^{6a}\text{R}^{7a}$  represents a pyridinium ring, a quinolinium ring or an isoquinolinium ring with a proviso

20 that a position of binding to  $\text{Z}^a$  is the ammonium nitrogen atom; wherein the pyridinium ring, the quinolinium ring and the isoquinolinium ring may be substituted with one or more groups of cyano, nitro, phenyl, naphthyl, thienyl, pyridyl, cycloalkyl having 3 to 7 carbon atoms, alkoxy having 1 to 5

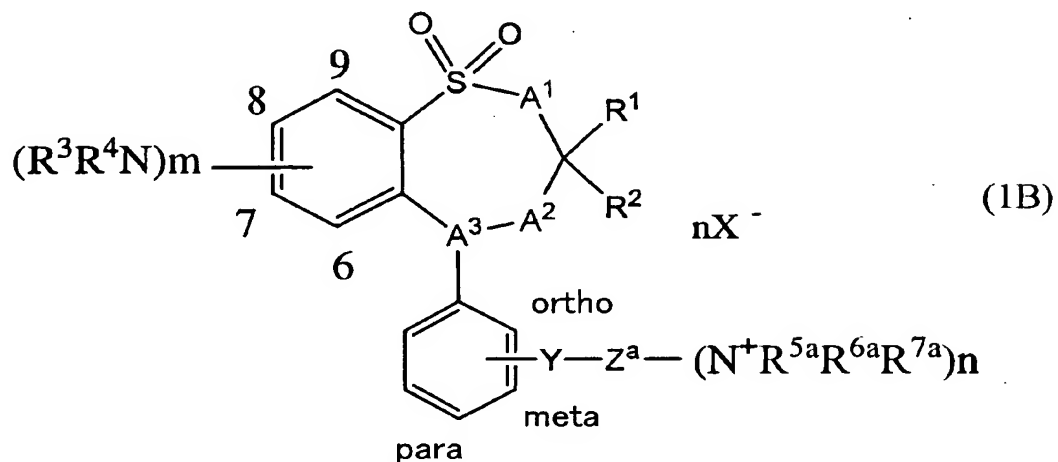
25 carbon atoms, carboxy,  $-\text{CONH}_2$ ,  $-\text{SO}_3\text{H}$ , halogen, hydroxy, tetrahydropyranyl and  $-\text{R}^{12a}$  ( $\text{R}^{12a}$  represents alkyl group having 1 to 9 carbon atoms or alkenyl group having 2 to 9 carbon atoms. The alkyl group and the alkenyl group in  $\text{R}^{12a}$  may be substituted with one or more groups of phenyl,

30 naphthyl, pyridyl, quinolyl, thienyl, furyl, cycloalkyl having 3 to 7 carbon atoms, cyano, nitro, hydroxy, oxo, thioxo, carboxy,  $-\text{CONH}_2$  and  $-\text{SO}_3\text{H}$ ; and one or more methylenes which constitute the alkyl group and the alkenyl

group may be replaced with any of phenylene, thienylene, furylene, cyclohexylene, cyclopentylene, -S-, -O-, -CO<sub>2</sub>-, -NHCO-, -NR<sup>8</sup>-, and -N<sup>+</sup>W<sup>-</sup>R<sup>9</sup>R<sup>10</sup>-; R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and W<sup>-</sup> are the same as the above); and

5 X<sup>-</sup> represents counteranion.

2. A compound represented by the following formula (1B):



wherein R<sup>1</sup> and R<sup>2</sup> may be the same as or different from each other, and each represents alkyl group having 1 to 10 carbon atoms; m is an integer of 1 or 2; and R<sup>3</sup>, R<sup>4</sup>, A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, Y, Z<sup>a</sup>-(N<sup>+</sup>R<sup>5a</sup>R<sup>6a</sup>R<sup>7a</sup>)<sup>n</sup>, n and X<sup>-</sup> are the same as the above.

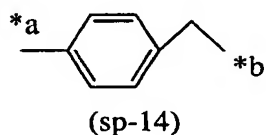
3. The compound according to claim 2 represented by said formula (1B), wherein:

when the combination of (A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>) is (CH<sub>2</sub>, NH, CH), one or more methylenes which constitute Z<sup>a</sup> must be replaced with phenylene having a substituent, the substituent(s) in the phenylene having the substituent are 1 to 4 substituents selected from the group consisting of alkyl groups having 1 to 5 carbon atoms, alkoxy groups having 1 to 5 carbon atoms, nitro group, halogen atoms, trifluoromethyl group and -CH<sub>2</sub>N<sup>+</sup>R<sup>5a</sup>R<sup>6a</sup>R<sup>7a</sup>, and the substituents may be the same as or different from one

another.

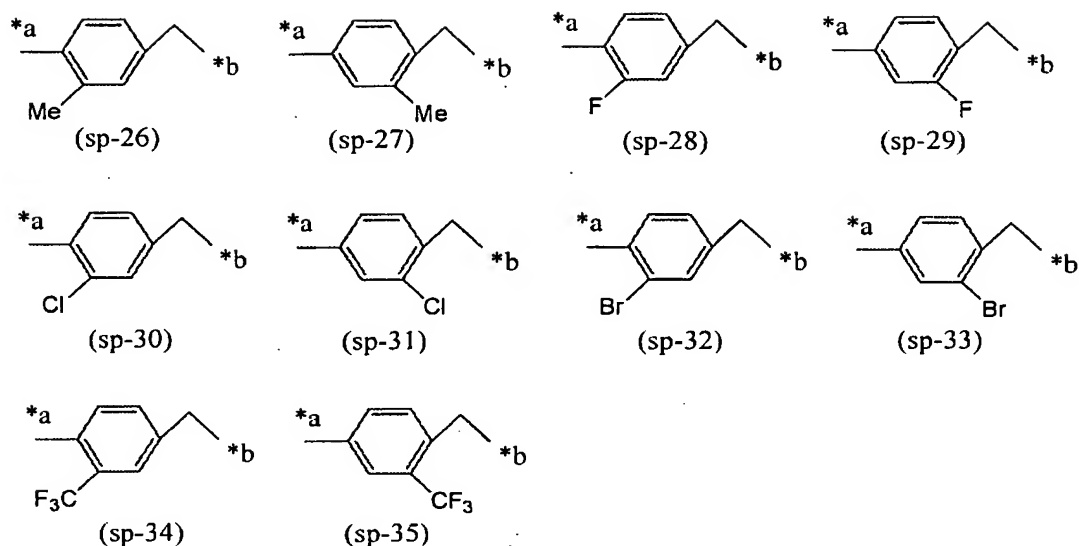
4. The compound according to claim 3 wherein  $Z^a$ -  
 $(N^+R^{5a}R^{6a}R^{7a})_n$  represents alkyl group having 2 to 10 carbon  
 5 atoms substituted with one  $-N^+R^{5a}R^{6a}R^{7a}$ ;  $Z^a$  represents a  
 straight methylene chain having 2 to 10 carbon atoms or a  
 straight methylene chain having 2 to 10 carbon atoms in  
 which one methylene is replaced with phenylene which may  
 have a substituent or a straight methylene chain having 2  
 10 to 10 carbon atoms in which one methylene is replaced with  
 $-O-$  or a straight methylene chain having 2 to 10 carbon  
 atoms in which one methylene is replaced with phenylene  
 which may have a substituent and another methylene is  
 replaced with  $-O-$ ; and Y represents  $-NHCS-$  or  $-NHCSNH-$  at  
 15 para position or meta position.

5. The compound according to claim 4 wherein the  
 combination of  $(A^1, A^2, A^3)$  is  $(CH_2, CH(OH), CH)$ , Y  
 represents  $-NHCSNH-$  at meta position, and  $Z^a$  is the  
 20 following formula (sp-14):



wherein \*a binds to Y and \*b binds to  $N^+R^{5a}R^{6a}R^{7a}$  in the  
 formula (1B).

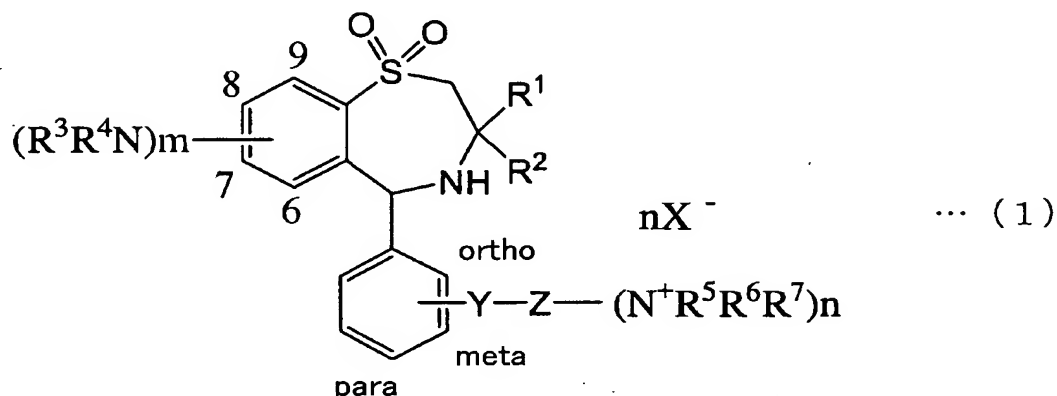
25 6. The compound according to claim 4 wherein the  
 combination of  $(A^1, A^2, A^3)$  is  $(CH_2, NH, CH)$ , Y represents -  
 $NHCSNH-$  at meta position, and  $Z^a$  is any of the following  
 formulae:



wherein  $*a$  binds to Y and  $*b$  binds to  $N^+R^{5a}R^{6a}R^{7a}$  in the formula (1B).

- 5 7. The compound according to claim 5 or 6 wherein  $R^1$  and  $R^2$  may be the same as or different from each other, and each represents straight alkyl groups having 2 to 6 carbon atoms, and  $(R^3R^4N)_m$  represents any of dimethylamino group substituted at position 7, diethylamino group substituted at position 7, ethylmethylamino group substituted at position 7, dimethylamino group substituted at position 9 and dimethylamino groups substituted at two positions 7 and 9.
- 10
- 15 8. The compound according to claim 7 wherein  $(R^3R^4N)_m$  represents any of dimethylamino group substituted at position 7, diethylamino group substituted at position 7 or ethylmethylamino group substituted at position 7, and  $N^+R^{5a}R^{6a}R^{7a}$  represents any of 4-t-butylpyridinium group, 3-(3-hydroxypropyl)-pyridinium group, 3-[2-(methoxycarbonyl)ethyl]-pyridinium group, 2-(n-propyl)-pyridinium group, 4-phenylquinuclidinium group or 1,4-diazabicyclo[2.2.2]octanium group.
- 20

9. A pharmaceutical composition containing as an active component a compound represented by the following formula (1):



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $m$ ,  $n$  and  $X^-$  are the same as the above;

Y represents any of  $-NHCS-$ ,  $-NHCSNH-$  or  $-NHCSO-$ , wherein  $-NH$  of  $-NHCS-$  represents a bond of binding to the adjacent benzene ring and  $CS-$  represents a bond of binding to the adjacent Z, and  $-NH$  of  $-NHCSO-$  represents a bond of binding to the adjacent benzene ring and  $CSO-$  represents a bond of binding to the adjacent Z;

$Z-(N^+R^5R^6R^7)_n$  represents alkyl or alkenyl having 2 to 10 carbon atoms which is substituted with  $-N^+R^5R^6R^7$ , the number of the substituent being  $n$ , and one or more methylenes which constitute Z may be replaced with any of phenylene or  $-O-$ ; and

each of  $N^+R^5R^6R^7$  is independently any of the following I), II) or III):

I)  $R^5$ ,  $R^6$  and  $R^7$  may be the same as or different from one another, and each represents alkyl group having 1 to 10 carbon atoms, alkenyl group having 2 to 10 carbon atoms or alkynyl group having 2 to 10 carbon atoms; wherein the alkyl group, the alkenyl group and the alkynyl group may be



substituted with one or more groups of phenyl, naphthyl, pyridyl, quinolyl, thienyl, furyl, piperidyl, pyrrolidyl, morpholyl, cycloalkyl having 3 to 7 carbon atoms, cyano, nitro, hydroxy, oxo, thioxo, carboxy,  $-\text{CONH}_2$  and  $-\text{SO}_3\text{H}$ ; and  
 5 wherein one or more methylenes which constitute the alkyl group, the alkenyl group and the alkynyl group may be replaced with any of phenylene, thienylene, furylene, cyclohexylene, cyclopentylene,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{CO}_2-$ ,  $-\text{NHCO}-$ ,  $-\text{NR}^8-$ , and  $-\text{N}^+\text{W}^-\text{R}^9\text{R}^{10}-$ ; and  $\text{R}^8$ ,  $\text{R}^9$ ,  $\text{R}^{10}$  and  $\text{W}^-$  are the same as  
 10 the above;

II)  $\text{N}^+\text{R}^5\text{R}^6\text{R}^7$  represents a monocyclo or bicyclo ring formed of 4 to 9 carbon atoms in addition to the ammonium nitrogen atom, with a proviso that a position of binding to Z is the ammonium nitrogen atom; wherein, in the monocyclo  
 15 and bicyclo rings, one of the carbon atoms which constitutes the ring may be replaced with any of oxygen, nitrogen or sulfur atom; and the monocyclo and bicyclo rings may be substituted with one or more groups of hydroxy, oxo, thioxo, cyano, phenyl, naphthyl, thienyl, pyridyl,  
 20 cycloalkyl having 3 to 7 carbon atoms, carboxy,  $-\text{CONH}_2$ ,  $-\text{SO}_3\text{H}$  and  $-\text{R}^{11}$  ( $\text{R}^{11}$  is the same as the above); and the group which is not involved in the formation of the monocyclo ring and the bicyclo ring in  $\text{R}^5$ ,  $\text{R}^6$  and  $\text{R}^7$  is the same as the above I); and

25 III)  $\text{N}^+\text{R}^5\text{R}^6\text{R}^7$  represents a pyridinium ring, a quinolinium ring or an isoquinolinium ring, with a proviso that a position of binding to Z is the ammonium nitrogen atom; wherein the pyridinium ring, the quinolinium ring and the isoquinolinium ring may be substituted with one or more  
 30 groups of cyano, nitro, phenyl, naphthyl, thienyl, pyridyl, cycloalkyl having 3 to 7 carbon atoms, alkoxy having 1 to 5 carbon atoms, carboxy,  $-\text{CONH}_2$ ,  $-\text{SO}_3\text{H}$ , and  $-\text{R}^{12}$  ( $\text{R}^{12}$  represents alkyl group having 1 to 9 carbon atoms or

alkenyl group having 2 to 9 carbon atoms. The alkyl group and the alkenyl group in  $R^{12}$  may be substituted with one or more groups of phenyl, naphthyl, pyridyl, quinolyl, thienyl, furyl, cycloalkyl having 3 to 7 carbon atoms, cyano, nitro, 5 hydroxy, oxo, thioxo, carboxy,  $-\text{CONH}_2$  and  $-\text{SO}_3\text{H}$ ; and one or more methylenes which constitute the alkyl group and the alkenyl group may be replaced with any of phenylene, thienylene, furylene, cyclohexylene, cyclopentylene,  $-\text{S}-$ ,  $-\text{CO}_2-$ ,  $-\text{NHCO}-$ ,  $-\text{NR}^8-$ , and  $-\text{N}^+\text{W}^-\text{R}^9\text{R}^{10}-$ ; and  $\text{R}^8$ ,  $\text{R}^9$ ,  $\text{R}^{10}$  and  $\text{W}^-$  10 are the same as the above).

10. A pharmaceutical composition containing the compound according to any of claims 1 to 8 as an active component.

15 11. The pharmaceutical composition according to claim 9 or 10 wherein the pharmaceutical composition is a cholesterol lowering agent.

20 12. The pharmaceutical composition according to claim 11 wherein the pharmaceutical composition is a therapeutic agent or a preventive agent for any of hyperlipemia, arteriosclerosis or syndrome X.

25 13. A pharmaceutical comprising a combination of the pharmaceutical composition according to any of claims 10 to 12 with another therapeutic agent or preventive agent for coronary artery diseases.

30 14. A pharmaceutical comprising a combination of the pharmaceutical composition according to any of claims 10 to 12 with another cholesterol lowering agent.

15. The pharmaceutical according to claim 14 wherein

another cholesterol lowering agent is one or more selected from a 3-Hydroxy-3-methylglutaryl coenzyme A (HMG-CoA) reductase inhibitor, a fibrate drug, a cholesterol absorption inhibitor, a bile acid absorber, probucol, AGI-  
5 1067, nicotinic acid and a derivative thereof, a microsomal transfer protein (MTP) inhibitor, an acylcoenzyme A: cholesterol acyltransferase (ACAT) inhibitor, a cholesterol ester transfer protein (CETP) inhibitor, a squalene synthase inhibitor, a peroxisome proliferator-activated  
10 receptor (PPAR) agent and phytosterol.

16. The pharmaceutical according to claim 15 wherein the selected cholesterol lowering agent is the HMG-CoA reductase inhibitor.

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17. The pharmaceutical according to claim 16 wherein the HMG-CoA reductase inhibitor is selected from the group consisting of pravastatin, simvastatin, fluvastatin, lovastatin, atorvastatin, rosuvastatin and pitavastatin.

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18. The pharmaceutical according to claim 15 wherein both the HMG-CoA reductase inhibitor and the cholesterol absorption inhibitor are selected as the cholesterol lowering agent.

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19. The pharmaceutical according to claim 18 wherein the HMG-CoA reductase inhibitor is selected from the group consisting of pravastatin, simvastatin, fluvastatin, lovastatin, atorvastatin, rosuvastatin and pitavastatin,  
30 and the cholesterol absorption inhibitor is ezetimibe.